

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
10 July 2003 (10.07.2003)

PCT

(10) International Publication Number  
**WO 03/055863 A1**(51) International Patent Classification<sup>7</sup>: **C07D 239/47**,  
A61K 31/506, A61P 9/00, C07D 239/56, 239/52, 239/48,  
401/14, 403/14(74) Agent: **HOFMANN, Dieter**; StratAll, Therwilerstrasse  
87, CH-4153 Reinach (CH).(21) International Application Number: **PCT/EP02/13970**(22) International Filing Date:  
10 December 2002 (10.12.2002)

(25) Filing Language: English

(26) Publication Language: English

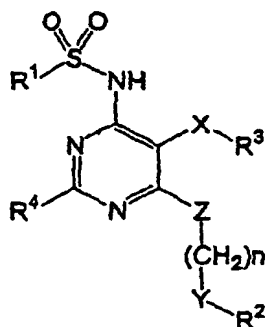
(30) Priority Data:  
PCT/EP02/00002 2 January 2002 (02.01.2002) EP(71) Applicant (for all designated States except US): **ACTE-  
LION PHARMACEUTICALS LTD** [CH/CH]; Gewerbe-  
strasse 16, CH-4123 Allschwil (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BOLLI, Mar-  
tin** [CH/CH]; Baselmattweg 141, CH-4123 Allschwil  
(CH). **BOSS, Christoph** [CH/CH]; Baselmattweg 136,  
CH-4123 Allschwil (CH). **CLOZEL, Martine** [FR/CH];  
Winterhalde 3b, CH-4102 Binningen (CH). **FISCHLI,  
Walter** [CH/CH]; Obertorweg 64, CH-4123 Allschwil  
(CH). **WELLER, Thomas** [CH/CH]; Hoelzlistrasse 58,  
CH-4102 Binningen (CH).(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,  
SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,  
VC, VN, YU, ZA, ZM, ZW.(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK,  
TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).**Published:**

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **NOVEL ALKANSULFONAMIDES AS ENDOTHELIN ANTAGONISTS**

(I)

(57) **Abstract:** The invention relates to novel alkansulfonamides of structure (I), wherein R<sup>1</sup> is a lowel alzyl group and the other variables are as defined in the description, and their use as active ingredients in the preparation of pharmaceutical compositions. The invention aslo concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more of those compounds and especially their use as endothelin receptor antagonists in the treatment and prevention of diseases associated to the endothelin system.

## INTERNATIONAL SEARCH REPORT

PCT/EP 02/13970

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/47 A61K31/506 A61P9/00 C07D239/56 C07D239/52  
 C07D239/48 C07D401/14 C07D403/14

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 01 17976 A (BOLLI MARTIN ;BOSS CHRISTOPH (CH); FISCHLI WALTER (CH); ACTELION P) 15 March 2001 (2001-03-15) claims ---	1-24
A	HARADA, HIRONORI ET AL: "Ethenesulfonamide and ethanesulfonamide derivatives, a novel class of orally active endothelin-A receptor antagonists" BIOORGANIC & MEDICINAL CHEMISTRY (2001), 9(11), 2955-2968 , XP002238534 page 2959; table 3 ---	1-24
A	EP 0 743 307 A (TANABE SEIYAKU CO) 20 November 1996 (1996-11-20) claims --- -/--	1-24

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\* & \* document member of the same patent family

Date of the actual completion of the international search

16 Apr11 2003

Date of mailing of the international search report

06/05/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3016

Authorized officer

Kollmannsberger, M

## INTERNATIONAL SEARCH REPORT

PCT/EP 02/13970

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with Indication, where appropriate, of the relevant passages	Relevant to claim No.
P, A	EP 1 191 026 A (PFIZER LTD ; PFIZER (US)) 27 March 2002 (2002-03-27) claims page 25; example 3 -----	1-24

## INTERNATIONAL SEARCH REPORT

PCT/EP 02/13970

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0117976	A	15-03-2001	AU 6839100 A	10-04-2001
			CA 2361402 A1	15-03-2001
			CN 1335839 T	13-02-2002
			WO 0117976 A1	15-03-2001
			EP 1137642 A1	04-10-2001
			HU 0201402 A2	28-08-2002
			NO 20013586 A	20-07-2001
EP 0743307	A	20-11-1996	JP 3013752 B2	28-02-2000
			JP 8311043 A	26-11-1996
			AT 205482 T	15-09-2001
			AT 224879 T	15-10-2002
			CA 2176776 A1	17-11-1996
			CN 1146990 A , B	09-04-1997
			DE 69615085 D1	18-10-2001
			DE 69615085 T2	06-06-2002
			DE 69624010 D1	31-10-2002
			DK 743307 T3	26-11-2001
			DK 959072 T3	20-01-2003
			EP 0743307 A1	20-11-1996
			EP 0959072 A1	24-11-1999
			EP 0959073 A1	24-11-1999
			ES 2163548 T3	01-02-2002
			GR 3036611 T3	31-12-2001
			PT 743307 T	28-12-2001
			PT 959072 T	31-12-2002
			SG 47141 A1	20-03-1998
			US 5739333 A	14-04-1998
			JP 3013778 B2	28-02-2000
			JP 9059261 A	04-03-1997
EP 1191026	A	27-03-2002	BR 0104141 A	09-07-2002
			EP 1191026 A1	27-03-2002
			JP 2002128780 A	09-05-2002
			US 2002061889 A1	23-05-2002